

fubara/09918127

(FILE 'HOME' ENTERED AT 03:43:29 ON 19 OCT 2002)

FILE 'REGISTRY' ENTERED AT 03:43:44 ON 19 OCT 2002

L1 STRUCTURE UPLOADED

L2 1 S L1

FILE 'USPATFULL, CAPLUS, CA' ENTERED AT 03:46:38 ON 19 OCT 2002

L3 5 S L2

L4 256 S HYDROXYPROPYLMETHYLCELLULOSE (W) ACETATE (W) SUCCINATE

L5

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L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
RN 343798-00-5 REGISTRY  
CN 1(2H)-Quinolinecarboxylic acid,  
4-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
(methoxycarbonyl)amino]-2-ethyl-3,4-dihydro-6-(trifluoromethyl)-, ethyl  
ester, (2R,4S)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Ethanol, compd. with ethyl  
(2R,4S)-4-[[[3,5-bis(trifluoromethyl)phenyl]met

hyl] (methoxycarbonyl)amino]-2-ethyl-3,4-dihydro-6-(trifluoromethyl)-1(2H)-  
quinolinecarboxylate (1:1) (9CI)

FS STEREOSEARCH

MF C26 H25 F9 N2 O4 . C2 H6 O

SR CA

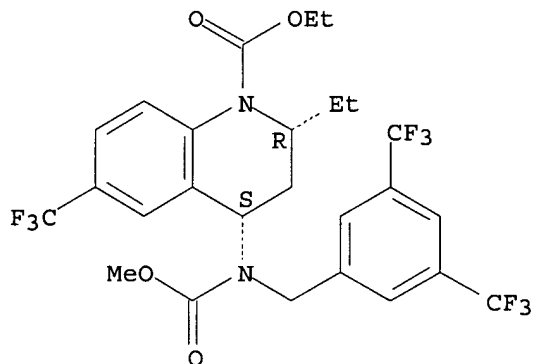
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 262352-17-0

CMF C26 H25 F9 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 64-17-5

CMF C2 H6 O

H<sub>3</sub>C-CH<sub>2</sub>-OH

2 REFERENCES IN FILE CA (1962 TO DATE)

2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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L3 ANSWER 1 OF 5 USPATFULL

ACCESSION NUMBER: 2002:61289 USPATFULL  
TITLE: Therapeutic combination  
INVENTOR(S): Shear, Charles L., Gales Ferry, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002035125	A1	20020321
APPLICATION INFO.:	US 2001-929862	A1	20010814 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-225238P	20000815 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1402	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical combinations of a CETP inhibitor and atorvastatin or hydroxy metabolites thereof or a pharmaceutically acceptable salt thereof, methods of using such combinations and kits containing such combinations for the treatment of atherosclerosis, angina, elevated cholesterol and low HDL levels and for the management of cardiac risk.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:142492 CAPLUS  
DOCUMENT NUMBER: 136:177982  
TITLE: Therapeutic combinations cholesterol ester transfer protein inhibitor and atorvastatin  
INVENTOR(S): Shear, Charles Lester  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013797	A2	20020221	WO 2001-IB1309	20010723
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001070937	A5	20020225	AU 2001-70937	20010723
US 2002035125	A1	20020321	US 2001-929862	20010814

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PRIORITY APPLN. INFO.:

US 2000-225238P P 20000815

WO 2001-IB1309 W 20010723

OTHER SOURCE(S): MARPAT 136:177982

AB Pharmaceutical combinations of a cholesterol ester transfer protein inhibitor and atorvastatin or its hydroxy metabolites or a salt and methods of using such combination and kits contg. such combinations for the treatment of atherosclerosis, angina, elevated cholesterol and low

HDL

levels and for the management of cardiac risk are disclosed. Thus, cis-4-[(3,5-bis-trifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was prepd. by the reaction of cis-4-(3,5-bistrifluoromethylbenzylamino)-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester with Me chloroformate in the presence of pyridine in CH<sub>2</sub>Cl<sub>2</sub> soln. [2R,4S]-4-[(3,5-bistrifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was prepd. in optically enriched form by resoln. of the corresponding racemate, or an intermediate in its synthesis, by using std. methods.

The

utility of the compds. of the present invention in the treatment of angina

pectoris in mammals (e.g., humans) was demonstrated in conventional assays

and clin. 30 protocols.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:416906 CAPLUS

DOCUMENT NUMBER: 135:33432

TITLE: Preparation of (2R,4S)-4-[(3,5-

bistrifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester as CETP inhibitor

INVENTOR(S): Allen, Douglas John Meldrum; Appleton, Troy Anthony; Brostrom, Lyle Robinson; Tickner, Derek Lawrence

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040190	A1	20010607	WO 2000-IB1650	20001114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000015836	A	20020806	BR 2000-15836	20001114
EP 1246804	A1	20021009	EP 2000-971662	20001114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
NO 2002002558 A 20020529 NO 2002-2558 20020529  
PRIORITY APPLN. INFO.: US 1999-168051P P 19991130  
WO 2000-IB1650 W 20001114  
AB A multistep synthesis of the title compd. (I), a CETP inhibitor (no data),  
is given. In the first step, reaction of cis-4-(3,5-bistrifluoromethylbenzylamino)-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was reacted with Me chloroformate. Crystal structures of I and the monoethanolate were detd.  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 4 OF 5 CA COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 136:177982 CA  
TITLE: Therapeutic combinations cholesterol ester transfer protein inhibitor and atorvastatin  
INVENTOR(S): Shear, Charles Lester  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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PRIORITY APPLN. INFO.:			US 2000-225238P P 20000815	
			WO 2001-IB1309 W 20010723	

OTHER SOURCE(S): MARPAT 136:177982

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levels and for the management of cardiac risk are disclosed. Thus, cis-4-[(3,5-bis-trifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was prepd. by the reaction of cis-4-(3,5-bistrifluoromethylbenzylamino)-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester with Me chloroformate in the presence of pyridine in CH<sub>2</sub>Cl<sub>2</sub> soln. [2R,4S]-4-[(3,5-bistrifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was prepd. in optically enriched form by resoln. of the corresponding

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racemate, or an intermediate in its synthesis, by using std. methods.  
The utility of the compds. of the present invention in the treatment of  
angina pectoris in mammals (e.g., humans) was demonstrated in conventional  
assays and clin. 30 protocols.

L3 ANSWER 5 OF 5 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 135:33432 CA

TITLE: Preparation of (2R,4S)-4-[(3,5-

bistrifluoromethylbenzyl)methoxycarbonylamino]-2-ethyl-

6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-  
carboxylic acid ethyl ester as CETP inhibitor

INVENTOR(S): Allen, Douglas John Meldrum; Appleton, Troy Anthony;  
Brostrom, Lyle Robinson; Tickner, Derek Lawrence

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

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BR 2000015836	A	20020806	BR 2000-15836	20001114
EP 1246804	A1	20021009	EP 2000-971662	20001114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
NO 2002002558	A	20020529	NO 2002-2558	20020529
PRIORITY APPLN. INFO.:			US 1999-168051P P	19991130
			WO 2000-IB1650 W	20001114

AB A multistep synthesis of the title compd. (I), a CETP inhibitor (no data),

is given. In the first step, reaction of cis-4-(3,5-bistrifluoromethylbenzylamino)-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester was reacted with Me chloroformate. Crystal structures of I and the monoethanolate were detd.

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